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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 11:44:01 ON 02 FEB 2009

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Uploading

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Choice (Y/n):

Switching to the Registry File ...

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TOTAL

0.22

0.22

=> FILE REGISTRY

FULL ESTIMATED COST

COST IN U.S. DOLLARS SINCE FILE ENTRY SESSION

FILE 'REGISTRY' ENTERED AT 11:44:15 ON 02 FEB 2009

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STRUCTURE FILE UPDATES: 30 JAN 2009 HIGHEST RN 1098270-10-0 DICTIONARY FILE UPDATES: 30 JAN 2009 HIGHEST RN 1098270-10-0

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http://www.cas.org/support/stngen/stndoc/properties.html

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```
chain nodes :
12 14
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
5-12 7-12 11-14
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
3-4 4-5 5-12 7-12 11-14
exact bonds :
1-2 1-5 2-3
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 :
```

G1:A, Ak, NH, CO2H

Match level: 1:Atom 2:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS 14:CLASS

L1 STRUCTURE UPLOADED

=> d 11

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Structure attributes must be viewed using STN Express query preparation.

=> s 11 SAMPLE SEARCH INITIATED 11:44:30 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 99 TO ITERATE

100.0% PROCESSED 99 ITERATIONS 38 ANSWERS SEARCH TIME: 00.00.01

1129

391 TO

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1384 TO 2576

L2 38 SEA SSS SAM L1

=> s 11 sss full FULL SEARCH INITIATED 11:44:38 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1847 TO ITERATE

100.0% PROCESSED 1847 ITERATIONS 675 ANSWERS

SEARCH TIME: 00.00.01

PROJECTED ANSWERS:

L3 675 SEA SSS FUL L1

=> FIL HCAPLUS

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 185.88 186.10

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FILE COVERS 1907 - 2 Feb 2009 VOL 150 ISS 6 FILE LAST UPDATED: 1 Feb 2009 (20090201/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 43 L3 L4

=> FIL REGISTRY COST IN U.S. DOLLARS

SESSION FULL ESTIMATED COST 11.40 197.50 FILE 'REGISTRY' ENTERED AT 11:47:30 ON 02 FEB 2009

SINCE FILE

ENTRY

TOTAL

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New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10576267a.str

chain nodes : 12 15 16 17 18 ring nodes : 1 2 3 4 5 6 7 8 9 10 11 chain bonds : 5-12 7-12 11-15 15-16 15-18 16-17 ring bonds : 1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 exact/norm bonds : 3-4 4-5 5-12 7-12 11-15 15-16 16-17 exact bonds : 1-2 1-5 2-3 15-18 normalized bonds : 6-7 6-11 7-8 8-9 9-10 10-11 isolated ring systems : containing 1 : 6 :

G1:A,Ak,NH,CO2H

Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L5 STRUCTURE UPLOADED

=> d 15 L5 HAS NO ANSWERS L5 STR



G1 A, Ak, NH, CO2H

Structure attributes must be viewed using STN Express query preparation.

=> s 15 SAMPLE SEARCH INITIATED 11:47:52 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 3 ANSWERS SEARCH TIME: 00.00.01

L6 3 SEA SSS SAM L5

=> s 15 sss full FULL SEARCH INITIATED 11:47:57 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 124 TO ITERATE

100.0% PROCESSED 124 ITERATIONS 62 ANSWERS SEARCH TIME: 00.00.01

L7 62 SEA SSS FUL L5

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```
chain nodes :
12 15 16 17 18 19
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
5-12 7-12 11-15 15-16 15-18 16-17 16-19
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
3-4 4-5 5-12 7-12 11-15 15-16 16-17 16-19
exact bonds :
1-2 1-5 2-3 15-18
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 :
```

G1:A, Ak, NH, CO2H

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS

L8 STRUCTURE UPLOADED

=> d 18 L8 HAS NO ANSWERS L8 STR



G1 A, Ak, NH, CO2H

Structure attributes must be viewed using STN Express query preparation.

=> s 18

SAMPLE SEARCH INITIATED 11:49:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS SEARCH TIME: 00.00.01 0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3 TO 163

PROJECTED ANSWERS: 0 TO

L9 0 SEA SSS SAM L8

=> s 18 sss full

FULL SEARCH INITIATED 11:50:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 42 TO ITERATE

100.0% PROCESSED 42 ITERATIONS 3 ANSWERS

SEARCH TIME: 00.00.01

L10 3 SEA SSS FUL L8

=> FIL HCAPLUS

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

10576267.trn 02/02/2009 Page 8

FILL ESTIMATED COST 372.72 570.22

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(FILE 'HOME' ENTERED AT 11:44:01 ON 02 FEB 2009)

FILE 'REGISTRY' ENTERED AT 11:44:15 ON 02 FEB 2009
L1 STRUCTURE UPLOADED
L2 38 S L1

L3 675 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 11:44:51 ON 02 FEB 2009 L4 43 S L3

FILE 'REGISTRY' ENTERED AT 11:47:30 ON 02 FEB 2009

L5 STRUCTURE UPLOADED L6 3 S L5

L6 3 S L5 L7 62 S L5 SSS FULL

L8 STRUCTURE UPLOADED
L9 0 S L8

L9 0 S L8 L10 3 S L8 SSS FULL

FILE 'HCAPLUS' ENTERED AT 11:50:10 ON 02 FEB 2009

=> s 17 L11 4 L7

=> s 110

L12 4 L10

=> d 111 ibib abs hitstr tot

L11 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:259908 HCAPLUS

DOCUMENT NUMBER: 146:309313

Use of aminoarvlthiazole and aminoarvloxazole dual TITLE: c-kit/FGFR3 inhibitors for treating multiple myeloma

INVENTOR(S): Moussy, Alain; Kinet, Jean-Pierre

PATENT ASSIGNEE(S): Ab Science, Fr.

PCT Int. Appl., 31pp. SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE . English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA | TENT | NO. | | | KIN | D | DATE | | | APPL: | ICAT: | ION | NO. | | D | ATE | |
|--------|-------|------|------|-----|------|-----|------|------|-----|-------|-------|------|-----|-----|-----|------|-----|
| | | | | | | - | | | | | | | | | | | |
| WO | 2007 | 0262 | 51 | | A2 | | 2007 | 0308 | | WO 2 | 006- | IB31 | 11 | | 2 | 0060 | 713 |
| WO | 2007 | 0262 | 51 | | A3 | | 2007 | 0712 | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FΙ, | GB, | GD, |
| | | GE, | GH, | GM, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | KP, |
| | | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | MN, |
| | | MW, | MX, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RS, | RU, |
| | | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SY, | ΤJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, |
| | | US, | UZ, | VC, | VN, | ZA, | ZM, | zw | | | | | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | AP, | EA, | EP, | OA | | | | | | |
| EP | 1904 | 065 | | | A2 | | 2008 | 0402 | | EP 2 | 006- | 8208 | 48 | | 2 | 0060 | 713 |
| | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LI, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | |
| US | 2008 | 0207 | 572 | | A1 | | 2008 | 0828 | | US 2 | 008- | 9955 | 92 | | 2 | 0800 | 114 |
| RIORIT | Y APP | LN. | INFO | . : | | | | | | US 2 | 005- | 6989 | 37P | 1 | P 2 | 0050 | 714 |
| | | | | | | | | | | WO 2 | 006- | IB31 | 11 | 1 | 7 2 | 0060 | 713 |
| THER S | OURCE | (S): | | | MARI | PAT | 146: | 3093 | 13 | | | | | | | | |

OTHER SOURCE(S):

The invention relates to a method for treating Multiple Myeloma, FGFR3+ myeloma, especially relapsed or refractory multiple myeloma (4/14) expressing FGFR3, comprising administering a dual c-kit/FGFR3 inhibitor, e.g. 2-aminoarvlthiazoles and 2-aminoarvloxazoles.

928298-11-7 928298-14-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(aminoarylthiazole and aminoaryloxazole dual c-kit/FGFR3 inhibitors for treatment of multiple myeloma)

928298-11-7 HCAPLUS RN

Benzamide, N-[3-[[5-(4-cyanophenyl)-2-oxazolyl]amino]-4-methylphenyl]-3-CN (trifluoromethyl) - (CA INDEX NAME)

RN 928298-14-0 HCAPLUS CN Pregna-1,4-diene-3,2

Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17,21-trihydroxy-16-methyl-, (11B,160,-, mixt. with N-[3-[16-(4-cyanophenyl)-2-oxazolyl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide (CA INDEX NAME)

CM 1

CRN 928298-11-7

CMF C25 H17 F3 N4 O2

CM 2

CRN 50-02-2 CMF C22 H29 F 05

Absolute stereochemistry.

L11 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:395287 HCAPLUS

DOCUMENT NUMBER: 142:447205

TITLE: Preparation of 2-(arylamino)oxazole derivatives as inhibitors of c-kit, bcr-abl, FGFR3, and/or Flt-3 Moussy, Alain; Wermuth, Camille; Grierson, David; INVENTOR(S):

Benjahad, Abdellah; Croisy, Martine; Ciufolini, Marco;

Giethlen, Bruno PATENT ASSIGNEE(S): Science AB, Fr.; Centre National de la Recherche

Scientifique CNRS; Institut Curie

SOURCE: PCT Int. Appl., 70 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | TENT : | | | | KIN | D | DATE | | | | LICAT | | | | D | ATE | | |
|----|--------|--------------------------|--------------------------|--------------------------|--------------------------|---|--------------------------|--------------------------|-----------------------------------|--------------------------|--|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|--|
| WO | | 0401 | 39 | | | | | | | | 2004- | | | | | | | |
| | W: | CN,
GE,
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NO, | CO,
GH,
LR,
NZ, | CR,
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TR, | KZ,
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GR, | TJ,
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IE, | AT, | SL,
BE,
LU,
GA, | BG,
MC, | CH, | CY,
PL, | CZ,
PT, | DE,
RO, | DK,
SE, | |
| | | | | | | | | AU 2004-283162 | | | | | | | | | | |
| | | | | | | | | | CA 2004-2542909
EP 2004-791783 | | | | | | | | | |
| EР | | | | | | | | | | | 2004- | | | | | | | |
| | IV: | | | | | | | | | | TR, | | | | | | | |
| BR | 2004 | | | | | | | | | | 2004- | | | | | | | |
| JP | 2007 | 5091 | 30 | | T | | 2007 | 0412 | | JP 2 | 2006- | 5362 | 15 | | 2 | 0041 | 022 | |
| | | | | | | | | | | | 2004- | | | | 20041022 | | | |
| | 2007 | | | | A1
A | A 20070418
A1 20070621
A 20070420 | | | US 2006-576267 | | | | | | | | | |

| MX 2006004581 | A | 20061120 | MX | 2006-4581 | | 20060424 |
|------------------------|---|----------|----|--------------|---|----------|
| NO 2006002308 | A | 20060522 | NO | 2006-2308 | | 20060522 |
| KR 2006118500 | A | 20061123 | KR | 2006-710034 | | 20060523 |
| PRIORITY APPLN. INFO.: | | | US | 2003-513214P | P | 20031023 |
| | | | WO | 2004~TB3698 | W | 20041022 |

OTHER SOURCE(S):

CASREACT 142:447205; MARPAT 142:447205

Т

R1

R4 R5

AB Title compds. I [R1, R2, R3, and R4 independently = H, halo, alkyloxy, etc.; R5 = H, (un)substituted linear or branched alkvl, COR8, etc.; R6 and R7 independently = H, halo, (un)substituted aryl, etc.; R8 = (un) substituted-aryl, -alkyl, -heteroaryl, etc.; R9 and/or R10 = H, (un) substituted-alkyl, -aryl, etc.; X = (un) substituted-alkyl, C:OY, NR9R10, etc.; Y = NR9R10, NHR9R10, (un)substituted-aryl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as potent and selective c-kit, bcr-abl, FGFR3 and/or Flt-3 inhibitors. Thus, e.g., 3-acetyl-pyridine was brominated and subsequently converted into the azido derivative, which was cyclized with 2-methyl-5-nitrophenyl isocvanate followed by a reduction to the resp. amine derivative, which could be further elaborated to

give II. The activity of I was evaluated in tyrosine kinase inhibition assays and it revealed that selected compds. of the invention possessed IC50 values of less than 1 µM. I should prove useful in the treatment of neoplastic diseases. Pharmaceutical compns. comprising I are

disclosed. 851317-91-4P 851318-09-7P 851318-20-2P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

10576267.trn 02/02/2009 Page 13 (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of 2-(arylamino) oxazole derivs. as inhibitors of c-kit, bcr-abl, FGFR3, and/or Flt-3)

RN 851317-91-4 HCAPLUS

CN Benzamide, 3-(dimethylamino)-N-[4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

RN 851318-09-7 HCAPLUS

CN Carbamic acid, [4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

RN 851318-20-2 HCAPLUS

CN 1-Piperazineacetamide, N-[4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

Page 14

IT 851317-75-4P 851317-76-5P 851317-77-6P 851317-78-7P 851317-79-8P 851317-80-1P RN

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851317-81-2P 851317-82-3P 851317-83-4P
851317-84-5P 851317-85-6P 851317-88-9P
851317-90-3P 851317-92-5P 851317-93-6P
851317-94-7P 851317-95-8P 851317-96-9P
851317-97-0P 851317-98-1P 851317-99-2P
851318-00-8P 851318-01-9P 851318-02-0P
851318-03-1P 851318-04-2P 851318-05-3P
851318-06-4P 851318-07-5P 851318-08-6P
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851318-13-3P 851318-14-4P 851318-15-5P
851318-16-6P 851318-17-7P 851318-18-8P
851318-19-9P 851318-21-3P 851318-22-4P
851318-23-5P 851318-24-6P 851318-25-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of 2-(arylamino)oxazole derivs. as inhibitors of c-kit,
   bcr-abl, FGFR3, and/or Flt-3)
851317-75-4 HCAPLUS
Acetamide, N-[4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA
```

INDEX NAME)

RN 851317-76-5 HCAPLUS
CN Acetamide, 2-cyano-N-[4-methyl-3-[[5-(4-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

RN 851317-77-6 HCAPLUS

10576267.trn 02/02/2009 Page 15

CN Acetamide, 2-ethoxy-N-[4-methy1-3-[[5-(3-pyridiny1)-2oxazolyl]amino]phenyl]- (CA INDEX NAME)

- RN
- 851317-78-7 HCAPLUS Propanamide, 3-methoxy-N-[4-methyl-3-[[5-(3-pyridinyl)-2-CN oxazolyl]amino]phenyl]- (CA INDEX NAME)

- 851317-79-8 HCAPLUS RN
- CN Urea, N-(4-methylphenyl)-N'-[4-methyl-3-[[5-(3-pyridinyl)-2oxazolyl]amino]phenyl]- (CA INDEX NAME)

- RN 851317-80-1 HCAPLUS
- Urea, N-(4-cyanopheny1)-N'-[4-methy1-3-[[5-(3-pyridiny1)-2-

oxazolvl]amino|phenvl]- (CA INDEX NAME)

- RN 851317-81-2 HCAPLUS
- CN Urea, N-(4-fluorophenyl)-N'-[4-methyl-3-[[5-(3-pyridinyl)-2oxazolyl]amino]phenyl]- (CA INDEX NAME)

- RN 851317-82-3 HCAPLUS
- CN Urea, N-(2-fluorophenyl)-N'-[4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

- RN 851317-83-4 HCAPLUS
- CN Urea, N-[4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 851317-84-5 HCAPLUS
- CN Urea, N-(4-chlorophenyl)-N'-[4-methyl-3-[[5-(3-pyridinyl)-2oxazolyl]amino]phenyl]- (CA INDEX NAME)

- RN 851317-85-6 HCAPLUS
- CN Urea, N=[4-methyl-3-[(5-phenyl-2-oxazolyl)amino]phenyl]-N'-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- Ph
- RN 851317-88-9 HCAPLUS
- CN 5-Oxazoleacetic acid, 2-[[2-methyl-5-[[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 851317-90-3 HCAPLUS
- CN Benzamide, 4-[(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

- RN 851317-92-5 HCAPLUS
- CN Benzamide, 3-bromo-N-[4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

- RN 851317-93-6 HCAPLUS
- CN Benzamide, N-[4-methoxy-3-[[5-(3-pyridiny1)-2-oxazoly1]amino]pheny1]-3 (trifluoromethy1)- (CA INDEX NAME)

- RN 851317-94-7 HCAPLUS
- CN Benzamide, 4-[[3-(dimethylamino)propyl]amino]-N-[4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

- RN 851317-95-8 HCAPLUS
- CN Benzamide, N-[4-fluoro-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

- RN 851317-96-9 HCAPLUS
- CN 1H-Indole-6-carboxamide, N-[4-methyl-3-[[5-(4-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

- RN 851317-97-0 HCAPLUS
- CN Benzamide, 3-(1-methylethoxy)-N-[4-methyl-3-[[5-(4-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

Page 20

RN 851317-98-1 HCAPLUS

CN Benzamide, N-[4-methyl-3-[[5-(2-pyridinyl)-2-oxazolyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 851317-99-2 HCAPLUS

CN Benzamide, 3,5-dimethoxy-N-[4-methyl-3-[[5-(4-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

RN 851318-00-8 HCAPLUS

CN Benzamide, N-[3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

- RN 851318-01-9 HCAPLUS
- CN Benzamide, N-[4-methyl-3-[(5-phenyl-2-oxazolyl)amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

- RN 851318-02-0 HCAPLUS
- CN Benzamide, 3-fluoro-4-[(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

- RN 851318-03-1 HCAPLUS
- CN Benzamide, N-[4-chloro-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]-3(trifluoromethyl)- (CA INDEX NAME)

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RN 851318-04-2 HCAPLUS
CN 1,4-Benzenedicarboxamide, N1-[4-methyl-3-[[5-(3-pyridinyl)-2oxazolyl]amino[phenyl]- (CA INDEX NAME)

RN 851318-05-3 HCAPLUS CN 4-Isoxazolecarboxamide

4-Isoxazolecarboxamide, 5-methyl-N-[4-methyl-3-[[5-(4-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

RN 851318-06-4 HCAPLUS

CN Benzamide, 4-cyano-N-[4-methyl-3-[[5-(4-pyridinyl)-2oxazolyl]amino]phenyl]- (CA INDEX NAME)

RN 851318-07-5 HCAPLUS
CN 4-Pyridinecarboxamide, N-[4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

RN 851318-08-6 HCAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-oxazolyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 851318-10-0 HCAPLUS

CN Carbamic acid, [2-methyl-5-[[(2-methylpropoxy)carbonyl]amino]phenyl][5-(3-pyridinyl)-2-oxazolyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

- RN 851318-11-1 HCAPLUS
- CN Carbamic acid, [4-methyl-3-[[5-(4-pyridinyl)-2-oxazolyl]amino]phenyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

- RN 851318-12-2 HCAPLUS
- CN Benzeneacetamide, 4-methyl-N-[4-methyl-3-[[5-(4-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

- RN 851318-13-3 HCAPLUS
- CN Benzeneacetamide, 4-fluoro-N-[4-methoxy-3-[[5-(4-pyridinyl)-2oxazolyl]amino]phenyl]- (CA INDEX NAME)

Page 25

10576267.trn 02/02/2009

- RN 851318-14-4 HCAPLUS
- CN Benzeneacetamide, 2,4-diffluoro-N-[4-methy1-3-[(5-pheny1-2-oxazolyl)amino]phenyl]- (CA INDEX NAME)

- RN 851318-15-5 HCAPLUS
- CN Benzeneacetamide, 3-bromo-N-[4-methyl-3-[[5-(2-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

- RN 851318-16-6 HCAPLUS
- CN Benzenepropanamide, 4-fluoro-N-[4-methyl-3-[[5-(4-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

- RN 851318-17-7 HCAPLUS
- CN Benzeneacetamide, 4-fluoro-N-[4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

- RN 851318-18-8 HCAPLUS
- CN Benzeneacetamide, N-[3-[[5-(4-cyanophenyl)-2-oxazolyl]amino]-4-methylphenyl]-2,4-difluoro- (CA INDEX NAME)

- RN 851318-19-9 HCAPLUS
- CN Pentanamide, 4-methyl-N-[4-methyl-3-[[5-(3-pyridinyl)-2oxazolyl]amino]phenyl]- (CA INDEX NAME)

- RN 851318-21-3 HCAPLUS
- CN 1-Piperazinepropanamide, N-[4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

RN 851318-22-4 HCAPLUS

CN Benzeneacetamide, 2,6-dichloro-N-[4-methyl-3-[[5-(4-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

RN 851318-23-5 HCAPLUS

CN 1-Pyrrolidinepropanamide, N-[4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

RN 851318-24-6 HCAPLUS

CN Benzeneacetamide, N-[4-methoxy-3-[[5-(4-pyridiny1)-2-oxazoly1]amino]pheny1]-4-(trifluoromethy1)- (CA INDEX NAME)

10576267

RN 851318-25-7 HCAPLUS

CN Benzeneacetamide, 4-methoxy-N-[4-methyl-3-[[5-(4-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

IT 851318-39-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-(arylamino)oxazole derivs. as inhibitors of c-kit, bcr-abl, FGFR3, and/or Flt-3)

RN 851318-39-3 HCAPLUS

CN Acetamide, 2-chloro-N-[4-methyl-3-[[5-(3-pyridinyl)-2-oxazolyl]amino]phenyl]- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN

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ACCESSION NUMBER: 2004:2836 HCAPLUS

DOCUMENT NUMBER: 140:77135

TITLE: Preparation of oxazolylureidoanilines as inhibitors of

serine proteases such as Factor VIIa.

INVENTOR(S): Slusasrchyk, William A.; Bolton, Scott A.; Herpin, Timothy; Bisacchi, Gregory S.; Pi, Zulan; Priestley,

E. Scott

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE | | | | | | |
|---|------------------|---------------------|-----------------|--|--|--|--|--|--|
| WO 2004000788 | A1 20031231 | WO 2003-US19605 | 20030617 | | | | | | |
| W: AE, AG, AL, | AM, AT, AU, AZ, | BA, BB, BG, BR, BY, | BZ, CA, CH, CN, | | | | | | |
| CO, CR, CU, | CZ, DE, DK, DM, | DZ, EC, EE, ES, FI, | GB, GD, GE, GH, | | | | | | |
| GM, HR, HU, | ID, IL, IN, IS, | JP, KE, KG, KP, KR, | KZ, LC, LK, LR, | | | | | | |
| LS, LT, LU, | LV, MA, MD, MG, | MK, MN, MW, MX, MZ, | NI, NO, NZ, OM, | | | | | | |
| PG, PH, PL, | PT, RO, RU, SC, | SD, SE, SG, SK, SL, | TJ, TM, TN, TR, | | | | | | |
| TT, TZ, UA, | UG, US, UZ, VC, | VN, YU, ZA, ZM, ZW | | | | | | | |
| | | SL, SZ, TZ, UG, ZM, | ZW. AM. AZ. BY. | | | | | | |
| | | BE, BG, CH, CY, CZ, | | | | | | | |
| | | LU, MC, NL, PT, RO, | | | | | | | |
| | | GN, GQ, GW, ML, MR, | | | | | | | |
| | | AU 2003-245614 | | | | | | | |
| | | US 2003-464035 | | | | | | | |
| US 6846838 | | | | | | | | | |
| | | EP 2003-739243 | 20030617 | | | | | | |
| | | GB, GR, IT, LI, LU, | | | | | | | |
| | | CY, AL, TR, BG, CZ, | | | | | | | |
| PRIORITY APPLN. INFO.: | 21, 11, 10, 111, | US 2002-389832P | | | | | | | |
| 111201111111111111111111111111111111111 | | WO 2003-US19605 | | | | | | | |
| OTHER SOURCE(S): | | | | | | | | | |

$$^{\rm A}_{\rm R14_{\rm R}13_{\rm NCONR}12\,\rm (CH_2)_{\rm B}} ^{\rm A}_{\rm NR^{\rm 11}} ^{\rm R14_{\rm R}13_{\rm NCONR}4_{\rm E}5_{\rm NR}6_{\rm CO}\,\rm (CR^{\rm 7}R^{\rm 8})_{\rm pNR^{\rm 9}R^{\rm 10}}} ~\rm I$$

II

- AB Title compds. [I; A = 0-1 5-6 membered (unsatd.) (substituted) carbocycly1, heterocycly1, heteroary1; B = (substituted) oxazoly1, triaxoly1, byrazoly1, imidazoly1; D = (substituted) phenylene, 5-6 membered heteroary1, heterocycly1, cycloalky1; R4, R5 = H, halo, OH, cyano, alkoxy, OCF3, amino, etc.; R6 = H, (substituted) alky1; R7, R8 = H, halo, OH, cyano, alkoxy, CF3, OCF3, amino, (substituted) alky1, R7, R8 = H, halo, OH, cyano, alkoxy, CF3, OCF3, amino, (substituted) alky1, etc.; R9, R10 = H, (substituted) alky1; NR9R10 = 3-8 membered (substituted) heterocycly1; R11 = 0-4 halo, cyano, NO2, (substituted) alky1, alkeny1, alkyny1, etc.; R12 = H, (substituted) alky1; R13, R14 = H, (substituted) alky1, cyano, OH, alkoxy, cycloalky1, heterocycly1, etc.], were prepared as Factor VIIa inhibitors (no data). Thus, title compound (II) was prepared in 11 steps.
- IT 639475-69-7P 639475-73-3P 639475-75-5P
 RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolylureidoanilines as inhibitors of serine proteases such as Factor VIIa)

RN 639475-69-7 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[3-[(aminocarbonyl)amino]-4-(2-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

$$\begin{array}{c} \mathsf{N} \\ \mathsf{$$

RN 639475-73-3 HCAPLUS

CN Acetamide, 2-amino-M-methyl-N-[[2-[2-[[3-[[(methylamino)carbonyl]amino]-4-(2-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-, 2, 2, 2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 639475-72-2

CMF C24 H25 N7 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

639475-75-5 HCAPLUS RN

CN Acetamide, 2-amino-N-[[2-[2-[[3-[[(ethylamino)carbonyl]amino]-4-(2oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

1 L11 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN 2002:755249 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 137:263025

TITLE: Preparation of substituted oxazoles as IMPDH

inhibitors

INVENTOR(S): Liu, Chunjian; Dhar, T. G. Murali; Gu, Henry H.; Iwanowicz, Edwin J.; Leftheris, Katerina; Pitts,

10576267.trn 02/02/2009 Page 32 William J.; Herpin, Timothy F.; Pi, Zulan; Bisacchi, Gregory S.

USA

PATENT ASSIGNEE(S): SOURCE: U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S.

Ser. No. 428,432. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

| PATENT INFORMATION: | 2 | | | | | | | | | |
|------------------------|-----------------|---------------------|-----------------|--|--|--|--|--|--|--|
| | KIND DATE | APPLICATION NO. | DATE | | | | | | | |
| US 20020143176 | A1 20021003 | US 2001-997963 | 20011129 | | | | | | | |
| US 6596747 | B2 20030722 | | | | | | | | | |
| | | US 1999-428432 | | | | | | | | |
| | | WO 2002-US38038 | 20021127 | | | | | | | |
| WO 2003047512 | | 20031016 | | | | | | | | |
| | | BA, BB, BG, BR, BY, | | | | | | | | |
| | | DZ, EC, EE, ES, FI, | | | | | | | | |
| | | JP, KE, KG, KP, KR, | | | | | | | | |
| | | MK, MN, MW, MX, MZ, | | | | | | | | |
| | | SG, SI, SK, SL, TJ, | TM, TN, TR, TT, | | | | | | | |
| | US, UZ, VC, VN, | | | | | | | | | |
| | | SL, SZ, TZ, UG, ZM, | | | | | | | | |
| | | BE, BG, CH, CY, CZ, | | | | | | | | |
| | | MC, NL, PT, SE, SK, | | | | | | | | |
| | | ML, MR, NE, SN, TD, | | | | | | | | |
| AU 2002352950 | A1 20030617 | AU 2002-352950 | 20021127 | | | | | | | |
| | | EP 2002-789910 | | | | | | | | |
| | | GB, GR, IT, LI, LU, | | | | | | | | |
| | | CY, AL, TR, BG, CZ, | | | | | | | | |
| PRIORITY APPLN. INFO.: | | US 1998-106186P | | | | | | | | |
| | | US 1999-428432 | | | | | | | | |
| | | US 2001-997963 | A 20011129 | | | | | | | |
| | | WO 2002-US38038 | W 20021127 | | | | | | | |
| OTHER SOURCE(S):
GI | MARPAT 137:2630 | 25 | | | | | | | | |

- AB Title compds. I [D = mono/bicyclic (hetero)cyclic ring; A = R3, R4; R3 = 5-6-membered (un)saturated heterocyclic ring; R4 = H, halo, NO, CF3, alkyl, alkoxy, etc.; R = H, alkyl; R1-2 = H, halo, NO2, alkyl, etc.; B = mono/bicyclic (hetero)cyclic ring system] were prepared 5-(4-Amino-2-methoxyphenyl)oxazole was reacted with di-Ph cyanocarbonimidate (CH3CN, reflux, 40 h) to give an intermediate which was reacted with 2-hydrazinopyridine to afford II. I are effective inhibitors of INPDH enzyme and/or serine protease factor VIIa.
- II 463941-52-8P, N-[2-[2-((3-Acetylaminophenyl)amino)oxazol-5yl]benzyl]-2-amino-N-methylacetamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
- (IMPDH inhibitor; preparation of substituted oxazoles as IMPDH inhibitors) RN 463941-52-8 HCAPLUS
- CN Acetamide, N-[[2-[2-[[3-(acetylamino)phenyl]amino]-5oxazolyl]phenyl]methyl]-2-amino-N-methyl- (CA INDEX NAME)

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L11 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN

Page 34

10576267.trn 02/02/2009

ACCESSION NUMBER: 2007:259908 HCAPLUS

DOCUMENT NUMBER: 146:309313 TITLE:

Use of aminoarylthiazole and aminoaryloxazole dual c-kit/FGFR3 inhibitors for treating multiple myeloma

INVENTOR(S): Moussy, Alain; Kinet, Jean-Pierre PATENT ASSIGNEE(S): Ab Science, Fr.

SOURCE: PCT Int. Appl., 31pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | ATENT | | | | KIND DATE | | | | | APPL | DATE | | | | | | | |
|---------|--------|--------------------------|------------|------------|------------|-------------|-------------------|------------|------------|-----------------------------------|-----------|-----|-----|-----|-----|-----|-----|--|
| Wo | 2007 | 2007026251
2007026251 | | | A2 | | 2007 | | | | | | | | | | | |
| | W: | CN, | CO, | CR, | CU, | CZ, | AU,
DE,
HU, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | MW, | MX, | MZ, | NA, | NG, | LR, | NO, | ΝZ, | OM, | PG, | PH, | PL, | PT, | RO, | RS, | RU, | |
| | DW. | US, | UZ, | VC, | VN, | ZA, | SL,
ZM,
CZ, | ZW | | · | | | | · | | | | |
| | KW. | IS, | ΙT, | LT, | LU, | LV, | MC,
GN, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | |
| | | GM,
KG, | KE,
KZ, | LS,
MD, | MW,
RU, | ΜZ,
TJ, | NA,
TM, | SD,
AP, | SL,
EA, | SZ,
EP, | TZ,
OA | UG, | ZM, | ZW, | AM, | AZ, | BY, | |
| E | 9 1904 | | | | | | 2008 | | | | | | | | | | | |
| | R: | | | | | | CZ, | | | | | | | | | | IE, | |
| PRIORI: | | | | | A1 | A1 20080828 | | | | US 2008-995592
US 2005-698937P | | | | | | | | |
| | | | | | | | WO 2006-IB3111 | | | | | | | | | | | |

OTHER SOURCE(S): MARPAT 146:309313

The invention relates to a method for treating Multiple Myeloma, FGFR3+ myeloma, especially relapsed or refractory multiple myeloma (4/14) expressing FGFR3, comprising administering a dual c-kit/FGFR3 inhibitor, e.g.

2-aminoarvlthiazoles and 2-aminoarvloxazoles.

L11 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:395287 HCAPLUS

DOCUMENT NUMBER: 142:447205 TITLE:

Preparation of 2-(arvlamino)oxazole derivatives as inhibitors of c-kit, bcr-abl, FGFR3, and/or Flt-3 INVENTOR(S): Moussy, Alain; Wermuth, Camille; Grierson, David;

Benjahad, Abdellah; Croisy, Martine; Ciufolini, Marco; Giethlen, Bruno

PATENT ASSIGNEE(S):

Science AB, Fr.; Centre National de la Recherche Scientifique CNRS; Institut Curie

PCT Int. Appl., 70 pp. SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Pat.ent.

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | TENT : | | | | | | | | | | ICAT | | | | D | ATE | | | |
|--------|--------------|-------|-------|-----|----------------------------|------|------|-------|--|-------|------|------|-----|-----|----------|------|-----|--|--|
| WO | 2005 | 0401 | 39 | | A2 20050506
A3 20051013 | | | | | | | | | | 20041022 | | | | |
| WO | 2005 | 0401 | 39 | | A3 | | 2005 | 1013 | | | | | | | | | | | |
| | W: | | | | | | | | | | BG, | | | | | | | | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | | |
| | | | | | | | | | | | JP, | | | | | | | | |
| | | | | | | | | | | | MK, | | | | | | | | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | | |
| | | | | | | | | | | | UΖ, | | | | | | | | |
| | RW: | | | | | | | | | | SL, | | | | | | | | |
| | | | | | | | | | | | BE, | | | | | | | | |
| | | | | | | | | | | | LU, | | | | | | | | |
| | | | | | | ΒJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | ΝE, | | |
| | | | TD, | | | | | | | | | | | | | | | | |
| | 2004 | | | | | | | | | | | | | | | | | | |
| | | | | | | | | | CA 2004-2542909
EP 2004-791783 | | | | | | | | | | |
| EP | | | | | | | | | EP 2004-791
GB, GR, IT, L1 | | | | | | | | | | |
| | R: | | | | | | | | | | | | | | | | | | |
| | | IE, | SI, | LT, | L∨, | E.T. | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | HU, | PL, | SK, | | |
| BR | 2004 | 0154 | 67 | | A 20061219 | | | | BR 2004-15467
JP 2006-536215 | | | | | | 20041022 | | | | |
| JP | 2007 | 2091 | 30 | | T | | 2007 | 0412 | JP 2006-536215 | | | | | | 20041022 | | | | |
| CN | 1950 | 347 | 200 | | A | | 2007 | 0418 | CN 2004-80037159
US 2006-576267
IN 2006-DN2206 | | | | | | 2 | 0041 | 022 | | |
| US | 2007 | 0142 | 390 | | AI | | 2007 | 0.420 | | US 2 | 006- | 5/62 | 0.0 | | 2 | 0060 | 418 | | |
| T1/4 | 2006 | 0045 | 206 | | A | | 2007 | 1120 | | TIN 2 | 006- | DNZZ | 06 | | 2 | 0060 | 421 | | |
| MA | 2006 | 0045 | 81 | | A | | 2006 | 1120 | | MA Z | 006- | 4581 | | | 2 | 0060 | 424 | | |
| NO | 2006
2006 | 1105 | 00 | | A | | 2006 | 1122 | | NO 2 | 000- | 2300 | 2.4 | | 2 | 0000 | 522 | | |
| IORIT | | | | | A | | 2006 | 1123 | | | 000- | | | | | | | | |
| TOKII: | 1 APP | TIN . | TIMEO | . : | | | | | | | 003- | | | | | | | | |
| HER S | OURCE | (S): | | | CAS | REAC | Т 14 | 2:44 | | | | | | | m 2 | 0041 | 022 | | |

10576267.trn 02/02/2009 Page 36

AB Title compds. I [R1, R2, R3, and R4 independently = H, halo, alkyloxy, etc.; R5 = H, (un)substituted linear or branched alkyl, COR8, etc.; R6 and R7 independently = H, halo, (un)substituted aryl, etc.; R8 = (un) substituted-aryl, -alkyl, -heteroaryl, etc.; R9 and/or R10 = H, (un) substituted-alkyl, -arvl, etc.; X = (un) substituted-alkyl, C:OY, NR9R10, etc.; Y = NR9R10, NHR9R10, (un)substituted-aryl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as potent and selective c-kit, bcr-abl, FGFR3 and/or Flt-3 inhibitors. Thus, e.g., 3-acetyl-pyridine was brominated and subsequently converted into the azido derivative, which was cyclized with 2-methyl-5-nitrophenyl isocyanate followed by a reduction to the resp. amine derivative, which could be further elaborated to

give II. The activity of I was evaluated in tyrosine kinase inhibition assays and it revealed that selected compds. of the invention possessed IC50 values of less than 1 μM . I should prove useful in the treatment of neoplastic diseases. Pharmaceutical compns. comprising I are disclosed.

REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2004:2836 HCAPLUS

DOCUMENT NUMBER: 140:77135

TITLE: Preparation of oxazolylureidoanilines as inhibitors of

serine proteases such as Factor VIIa.

INVENTOR(S): Slusasrchyk, William A.; Bolton, Scott A.; Herpin, Timothy; Bisacchi, Gregory S.; Pi, Zulan; Priestley,

E. Scott

PATENT ASSIGNEE (S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 55 pp. CODEN: PIXXD2

DOCUMENT TYPE: I.ANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | TENT : | | | | | | | | | | | | | | D. | ATE | | |
|----------|--------|------|------|-----|-----|-----|------|------|-----|------|-------|-------|-----|-----|------|------|-----|--|
| | | | | | | | | | | | | | | | - | | | |
| WO | 2004 | 0007 | 88 | | A1 | | 2003 | 1231 | | WO 2 | 003-1 | US19 | 605 | | 2 | 0030 | 617 | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, | |
| | | CO, | CR. | CU, | CZ. | DE. | DK, | DM. | DZ. | EC. | EE, | ES. | FI. | GB, | GD, | GE, | GH, | |
| | | | | | | | IN, | | | | | | | | | | | |
| | | | | | | | MD, | | | | | | | | | | | |
| | | | | | | | | | | | | | | | | | | |
| | | | | | | | RU, | | | | | | | IJ, | 111, | TIM, | IK, | |
| | | | | | | | UZ, | | | | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | ΜZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, | |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | |
| | | FI, | FR, | GB, | GR, | HU, | IE, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, | |
| | | BF. | BJ. | CF. | CG. | CI. | CM, | GA. | GN. | GO. | GW. | ML. | MR. | NE. | SN. | TD. | TG | |
| AU | 2003 | | | | | | | | | | | | | | | | | |
| | 2004 | | | | | | | | | | | | | | | | | |
| | 6846 | | | | | | | | | 00 2 | 000 | 1010 | | | _ | 0000 | | |
| | 1551 | | | | | | | | | ED 2 | 002 | 7202 | 12 | | 2 | 0020 | c17 | |
| EP | | | | | | | | | | | | | | | | | | |
| | R: | | | | | | ES, | | | | | | | | | | PT, | |
| | | ΙE, | SI, | LT, | LV, | FΙ, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | HU, | SK | | |
| PRIORIT: | Y APP | LN. | INFO | . : | | | | | | US 2 | 002- | 3898: | 32P | 1 | P 2 | 0020 | 619 | |
| | | | | | | | | | | WO 2 | 003-1 | US19 | 605 | 1 | W 2 | 0030 | 617 | |
| OTHER SO | DURCE | (S): | | | MAR | PAT | 140: | 7713 | | | | | | | | | | |

AΒ Title compds. [I; A = 0-1 5-6 membered (unsatd.) (substituted) carbocyclyl, heterocyclyl, heteroaryl; B = (substituted) oxazolyl, triazolyl, pyrazolyl, imidazolyl; D = (substituted) phenylene, 5-6 membered heteroaryl, heterocyclyl, cycloalkyl; R4, R5 = H, halo, OH, cyano, alkoxy, OCF3, amino, etc.; R6 = H, (substituted) alkyl; R7, R8 = H, halo, OH, cyano, alkoxy, CF3, OCF3, amino, (substituted) alkyl, etc.; R9, R10 = H, (substituted) alkyl; NR9R10 = 3-8 membered (substituted) heterocyclyl; R11 = 0-4 halo, cyano, NO2, (substituted) alkyl, alkenyl, alkynyl, etc.; R12 = H, (substituted) alkyl; R13, R14 = H, (substituted)

alkyl, cyano, OH, alkoxy, cycloalkyl, heterocyclyl, etc.], were prepared as Factor VIIa inhibitors (no data). Thus, title compound (II) was prepared in

11 steps.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:755249 HCAPLUS

DOCUMENT NUMBER: 137:263025

TITLE: Preparation of substituted oxazoles as IMPDH

inhibitors

INVENTOR(S): Liu, Chunjian; Dhar, T. G. Murali; Gu, Henry H.;
Iwanowicz, Edwin J.; Leftheris, Katerina; Pitts,

William J.; Herpin, Timothy F.; Pi, Zulan; Bisacchi,

Gregory S. PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S.

Ser. No. 428,432. CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| | TENT | | | | | | DATE | | | | ICAT | | | | | ATE | |
|---------|-------|------|------|-----|-----|-----|------|------|-----|-------|------|------|-----|-----|-----|------|------|
| US | 2002 | 0143 | 176 | | A1 | | 2002 | 1003 | | | 001- | | | | | 0011 | |
| | 6596 | | | | | | 2003 | | | | | | | | | | |
| US | 6399 | 773 | | | B1 | | 2002 | 0604 | | US 1 | 999- | 4284 | 32 | | 1 | 9991 | 027 |
| WC | 2003 | 0475 | 12 | | A2 | | 2003 | 0612 | | WO 2 | 002- | US38 | 038 | | 2 | 0021 | 127 |
| WC | 2003 | 0475 | 12 | | A3 | | 2003 | 1016 | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, |
| | | PL. | PT. | RO. | RU. | SC. | SD. | SE. | SG. | SI. | SK, | SL. | TJ. | TM. | TN. | TR. | TT. |
| | | TZ. | UA. | UG. | US. | UZ. | VC. | VN. | YU. | ZA. | ZM, | ZW | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG. | KZ. | MD. | RU. | TJ. | TM. | AT. | BE. | BG. | CH. | CY. | CZ. | DE. | DK. | EE, | ES. |
| | | FI. | FR. | GB. | GR. | IE. | IT. | LU. | MC. | NL. | PT, | SE. | SK. | TR. | BF. | BJ. | CF. |
| | | | | | | | | | | | NE, | | | | | | |
| AU | 2002 | | | | | | | | | | | | | | | 0021 | 127 |
| | 1448 | | | | | | | | | | | | | | | | |
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| PRIORIT | Y APP | | | | , | , | , | | | | 998- | | | | | 9981 | n 29 |
| | | | 2112 | | | | | | | | 999- | | | | | | |
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| | | | | | | | | | | | 002- | | | | | 0021 | |
| | | | | | | | | | | 110 2 | .002 | 0000 | 000 | | | 0021 | 12, |

OTHER SOURCE(S): MARPAT 137:263025

GΙ

AB Title compds. I [D = mono/bicyclic (hetero)cyclic ring; A = R3, R4; R3 = 5-6-membered (un)saturated heterocyclic ring; R4 = H, halo, NO, CF3, alkyl, alkoxy, etc.; R = H, alkyl; R1-2 = H, halo, NO2, alkyl, etc.; B = mono/bicyclic (hetero)cyclic ring system] were prepared 5-(4-Amino-2-methoxyphenyl)oxazole was reacted with di-Ph cyanocarbonimidate (CH3CN, reflux, 40 h) to give an intermediate which was reacted with 2-hydrazinopyridine to afford II. I are effective inhibitors of IMPDH enzyme and/or serine protease factor VIIa.

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(FILE 'HOME' ENTERED AT 11:44:01 ON 02 FEB 2009)

FILE 'REGISTRY' ENTERED AT 11:44:15 ON 02 FEB 2009 L1 STRUCTURE UPLOADED

L1 STRUCTURE UPLOADS L2 38 S L1

L3 675 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 11:44:51 ON 02 FEB 2009 L4 43 S L3

FILE 'REGISTRY' ENTERED AT 11:47:30 ON 02 FEB 2009 L5 STRUCTURE UPLOADED

L6 3 S L5

L7 62 S L5 SSS FULL L8 STRUCTURE UPLOADED

L9 0 S L8 L10 3 S L8 SSS FULL

FILE 'HCAPLUS' ENTERED AT 11:50:10 ON 02 FEB 2009

L11 4 S L7 L12 4 S L10 => s 14 and pv<=2003 24034228 PY<=2003

L13 20 L4 AND PY<=2003

=> s 113 and p/dt 6538018 P/DT

L14 11 L13 AND P/DT

=> s 114 and us/pc 1892403 US/PC

L15 8 L14 AND US/PC

=> d 115 ibib abs hitstr tot

L15 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:2836 HCAPLUS

DOCUMENT NUMBER: 140:77135

TITLE: Preparation of oxazolylureidoanilines as inhibitors of

serine proteases such as Factor VIIa. Slusasrchyk, William A.; Bolton, Scott A.; Herpin,

INVENTOR(S): Timothy; Bisacchi, Gregory S.; Pi, Zulan; Priestley,

E. Scott

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 55 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND DATE APPLICAT | ION NO. DATE |
|------------------------|-----------------------------|-------------------------|
| WO 2004000788 | A1 20031231 WO 2003- | US19605 20030617 < |
| W: AE, AG, AL, | AM, AT, AU, AZ, BA, BB, BG, | BR, BY, BZ, CA, CH, CN, |
| | CZ, DE, DK, DM, DZ, EC, EE, | |
| | ID, IL, IN, IS, JP, KE, KG, | |
| | LV, MA, MD, MG, MK, MN, MW, | |
| | PT, RO, RU, SC, SD, SE, SG, | |
| | UG, US, UZ, VC, VN, YU, ZA, | |
| | LS, MW, MZ, SD, SL, SZ, TZ, | |
| | RU, TJ, TM, AT, BE, BG, CH, | |
| | GR, HU, IE, IT, LU, MC, NL, | |
| | CG, CI, CM, GA, GN, GQ, GW, | |
| | A1 20040106 AU 2003- | |
| | A1 20040129 US 2003- | 464035 20030617 < |
| US 6846838 | | |
| | A1 20050713 EP 2003- | |
| | DE, DK, ES, FR, GB, GR, IT, | |
| | LV, FI, RO, MK, CY, AL, TR, | |
| PRIORITY APPLN. INFO.: | | 389832P P 20020619 |
| | WO 2003- | US19605 W 20030617 |
| OTHER SOURCE(S): | MARPAT 140:77135 | |

ĠΙ

RN

- AB Title compds. II; A = 0-1 5-6 membered (unsatd.) (substituted) carbocyclyl, heterocyclyl, heteroaryl; B = (substituted) oxazolyl, triazolyl, pyrazolyl, imidazolyl; D = (substituted) phenylene, 5-6 membered heteroaryl, heterocyclyl, cycloalkyl; R4, R5 = H, halo, OH, cyano, alkoxy, OCF3, amino, etc.; R6 = H, (substituted) alkyl; R7, R8 = H, halo, OH, cyano, alkoxy, CF3, OCF3, amino, (substituted) alkyl, etc.; R9, R10 = H, (substituted) alkyl; NR9R10 = 3-8 membered (substituted) heterocyclyl; R11 = 0-4 halo, cyano, NO2, (substituted) alkyl, alkenyl, alkynyl, etc.; R12 = H, (substituted) alkyl; R13, R14 = H, (substituted) alkyl, cyano, OH, alkoxy, cycloalkyl, heterocyclyl, etc.; were prepared as Factor VIIa inhibitors (no data). Thus, title compound (II) was prepared in 11 steps.
- II 639475-69-7P 639475-73-3P 639475-75-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 - (preparation of oxazolylureidoanilines as inhibitors of serine proteases such as Factor VIIa)
 639475-69-7 HCAPLUS
- CN Acetamide, 2-amino-N-[[2-[2-[3-[(aminocarbonyl)amino]-4-(2-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

- RN 639475-73-3 HCAPLUS
- CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[[3-[[(methylamino)carbonyl]amino]-4-(2-oxazolyl]phenyl]amino]-5-oxazolyl]phenyl]methyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 639475-72-2 CMF C24 H25 N7 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 639475-75-5 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[3-[[(ethylamino)carbonyl]amino]-4-(2-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

IT 639475-88-0P 639475-91-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxazolylureidoanilines as inhibitors of serine proteases

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such as Factor VIIa)

RN 639475-88-0 HCAPLUS

CN Benzoic acid, 5-[[5-[2-[[[2-[[(1,1-

dimethylethoxy)carbonyl]amino]acetyl]methylamino]methyl]phenyl]-2oxazolyl]amino]-2-(2-oxazolyl)-, methyl ester (CA INDEX NAME)

RN 639475-91-5 HCAPLUS

CN Benzoic acid, 5-[[5-[2-[[[2-[[(1,1-

dimethylethoxy)carbonyl]amino]acetyl]methylamino]methyl]phenyl]-2oxazolyl]amino]-2-(2-oxazolyl)- (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:2622 HCAPLUS

DOCUMENT NUMBER: 140:53429

TITLE: Use of compounds having an amine nucleus in

manufacture of a medicament useful for treating factor

VIIa-associated conditions Herpin, Timothy; Bisacchi, Gregory S.; Pi, Zulan;

Priestley, E. Scott; Dhar, T. G. Murali

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

PATENT NO. KIND DATE APPLICATION NO. DATE

| | | | | | | | - | | | | | | | | | - | | | |
|-------|-----|-------|------|------|-----|-----|-----|------|------|-----|------|------|-------|-----|-----|-----|------|-------|--|
| | WO | 2004 | 0002 | 14 | | A2 | | 2003 | 1231 | | WO 2 | 003- | US19: | 155 | | 2 | 0030 | 617 < | |
| | WO | 2004 | 0002 | 14 | | A3 | | 2004 | 0325 | | | | | | | | | | |
| | | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, | |
| | | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | |
| | | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, | LR, | |
| | | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | NI, | NO, | NZ, | OM, | |
| | | | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | ΤJ, | TM, | TN, | TR, | |
| | | | | | | | | UZ, | | | | | | | | | | | |
| | | RW: | | | | | | ΜZ, | | | | | | | | | | | |
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| | | | | | | | | CM, | | | | | | | | | | | |
| | | 2003 | | | | | | | | | | | | | | | | | |
| | | 2004 | | | | | | 2004 | | | US 2 | 003- | 4643 | 66 | | 2 | 0030 | 617 < | |
| | | 7041 | | | | | | 2006 | | | | | | | | | | | |
| | EP | 1532 | | | | | | | | | | | | | | | | | |
| | | R: | | | | | | ES, | | | | | | | | | | PT, | |
| | | | | | | | | RO, | | | | | | | | | | | |
| | | 2006 | | | | A1 | | 2006 | 0803 | | | | | | | | | 316 < | |
| PRIOR | RIT | Y APP | LN. | INFO | . : | | | | | | | | 3898 | | | | | | |
| | | | | | | | | | | | | | 4643 | | | | | | |
| | | | | | | | | | | | WO 2 | 003- | US19 | 155 | | W 2 | 0030 | 617 | |
| | | TIDOR | | | | | | | | | | | | | | | | | |

OTHER SOURCE(S): MARPAT 140:53429

AB Use of at least one compound having an amine nucleus, or a pharmaceutically-acceptable salt, hydrate or prodrug thereof, in the manufacture of a medicament useful for treating conditions associated with the activity of Factor VIIa is described.

IT 1027167-49-2

RN

RL: PRPH (Prophetic)

(Use of compounds having an amine nucleus in manufacture of a medicament useful for treating factor VIIa-associated conditions) 1027167-49-2 HCAPLUS

CN Acetamide, N-[[2-[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5oxazoly1]pheny1]methy1]-N-methy1-2-(methylamino)- (CA INDEX NAME)

IT 639458-85-8P 639458-89-2P 639458-94-9P 639458-95-0P 639459-05-5P 639459-06-6P 639459-07-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(use of compds. for treating factor VIIa-associated conditions)

- RN 639458-85-8 HCAPLUS
- CN Acetamide, 2-amino-N-[[2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]pheny1]methy1]-N-methy1- (CA INDEX NAME)

- RN 639458-89-2 HCAPLUS
- CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[[3-(phenylmethoxy)phenyl]amino]-5oxazolyl]phenyl]methyl]- (CA INDEX NAME)

- RN 639458-94-9 HCAPLUS
- CN Acetamide, 2-amino-N-[[2-[2-[(2-methoxy[1,1'-biphenyl]-4-yl)amino]-5oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{Ph} \\ \text{H}_2\text{N}-\text{CH}_2-\text{C}-\text{N}-\text{CH}_2 \\ \text{Me} \end{array}$$

- RN 639458-95-0 HCAPLUS
- CN Acetamide, 2-amino-N-[[2-[2-[[3-chloro-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]pheny1]methy1]-N-methy1- (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{N} \\ \text{H}_2\text{N}-\text{CH}_2-\text{C}-\text{N}-\text{CH}_2 \\ \text{Me} \end{array}$$

- RN 639459-05-5 HCAPLUS
- CN Acetamide, 2-amino-N-[[2-[2-[[3-chloro-4-(2-thiazoly1)pheny1]amino]-5-oxazoly1]pheny1]methy1]-N-methy1- (CA INDEX NAME)

- RN 639459-06-6 HCAPLUS
- CN Acetamide, 2-amino-N-[[2-[2-[[3-ethyl-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

- RN 639459-07-7 HCAPLUS
- CN Acetamide, 2-amino-N-[[2-[2-[[3-methoxy-4-(2-oxazoly1)pheny1]amino]-5-oxazoly1]pheny1]methy1]-N-methy1- (CA INDEX NAME)

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$$\begin{array}{c} \text{OMe} \\ \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{O} \\ \\ \text{N} \\ \text{O} \\ \\ \text{N} \\ \text{O} \\ \text$$

IT 639459-18-0 639459-19-1 639459-20-4
639459-21-5 639459-22-6 639459-23-7
639459-24-8 639459-25-9 639459-26-0
639459-27-1 639459-28-2 639459-29-3
639459-30-6 639459-31-6 393459-32-8
639459-33-9 639459-34-0 639459-35-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of compds. for treating factor VIIa-associated conditions)
RN 639459-18-0 RCAPLUS
CN Acetamide, 2-amino-N-[[5-fluoro-2-[2-[[3-methoxy-4-(5-oxazolv1)phenyl]methyl]-N-methyl- (CA INDEX

$$\begin{array}{c} \text{OMe} \\ \text{OMe} \\ \text{H}_2\text{N}-\text{CH}_2-\text{C}-\text{N}-\text{CH}_2 \\ \text{Me} \end{array}$$

RN 639459-19-1 HCAPLUS

NAME)

CN Acetamide, 2-amino-N-[1-[2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]pheny1]ethy1]-N-methy1- (CA INDEX NAME)

RN 639459-20-4 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[4-(4-ethyl-4,5-dihydro-2-oxazolyl)-3-methoxyphenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{N} \\ \text{O} \\ \text{O} \\ \text{O} \\ \text{CH}_2 - \text{N} - \text{C} - \text{CH}_2 - \text{NH}_2 \\ \text{Me} \\ \end{array}$$

RN 639459-21-5 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[4-(4,5-dihydro-4-methyl-2-oxazolyl)-3-methoxyphenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{N} \\ \text{H}_2\text{N}-\text{CH}_2-\text{C}-\text{N}-\text{CH}_2 \\ \text{Me} \end{array}$$

RN 639459-22-6 HCAPLUS

CN Acetamide, 2-amino-N-[[5-chloro-2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

RN 639459-23-7 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[4-(4-ethyl-2-oxazolyl)-3-methoxyphenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

RN 639459-24-8 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[3-methoxy-4-(4-methyl-2-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

$$\mathsf{H}_2\mathsf{N}-\mathsf{CH}_2-\mathsf{C}-\mathsf{N}-\mathsf{CH}_2$$

RN 639459-25-9 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[4-(3-furanyl)-3-methoxyphenyl]amino]-5oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

RN 639459-26-0 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[3-methoxy-4-(2-methyl-5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{OMe} \\ \text{N} \\ \text{N}$$

RN 639459-27-1 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[3-methoxy-4-(5-methyl-2-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{OMe} \\ \text{N} \\ \text{H}_2 \text{N} - \text{CH}_2 - \text{C} - \text{N} - \text{CH}_2 \\ \text{Me} \end{array}$$

RN 639459-28-2 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(4-cyano-3-methoxyphenyl)amino]-5oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

Page 51

$$\begin{array}{c} \text{OMe} \\ \text{CN} \\ \text{H}_2\text{N}-\text{CH}_2-\text{C}-\text{N}-\text{CH}_2 \\ \text{Me} \end{array}$$

- RN 639459-29-3 HCAPLUS
- CN Acetamide, 2-amino-N-[[2-[2-[(4-bromo-3-methoxyphenyl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{Br} \\ \text{H}_2\text{N}-\text{CH}_2-\text{C}-\text{N}-\text{CH}_2 \\ \text{Me} \end{array}$$

- RN 639459-30-6 HCAPLUS
- CN Acetamide, 2-amino-N-[[2-[2-[[3-methoxy-4-(2-methyl-1-propen-1-yl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{CH} = \text{CMe}_2 \\ \text{H}_2\text{N} - \text{CH}_2 - \text{C} - \text{N} - \text{CH}_2 \\ \text{Me} \end{array}$$

- RN 639459-31-7 HCAPLUS
- CN Acetamide, 2-amino-N-[[2-[2-[[4-[(hydroxyamino))iminomethy1]-3-methoxypheny1]amino]-5-oxazoly1]pheny1]methy1]-N-methy1- (CA INDEX NAME)

RN 639459-32-8 HCAPLUS
CN Acetamide 2-amino-N-ethyl-N-[[2-[2-[[3-methoxy-4-(5oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{ON} \\ \text{H}_2\text{N}-\text{CH}_2-\text{C}-\text{N}-\text{CH}_2 \\ \text{Et} \end{array}$$

RN 639459-33-9 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]pheny1]methy1]- (CA INDEX NAME)

RN 639459-34-0 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[4-(2-furanyl)-3-methoxyphenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

RN 639459-35-1 HCAPLUS

CN Cyclopentanecarboxamide, 1-amino-N-[[2-[2-[3-methoxy-4-(5-oxazoly1)phenyl]amino]-5-oxazoly1]phenyl]methyl]-N-methyl- (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:755249 HCAPLUS

DOCUMENT NUMBER: 137:263025

TITLE: Preparation of substituted oxazoles as IMPDH

inhibitors

Gregory S.

PATENT ASSIGNEE(S): USA SOURCE: U.S

U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S.

Ser. No. 428,432. CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English

LANGUAGE: English FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------|------|----------|-----------------|------------|
| | | | | |
| IIS 20020143176 | A1 | 20021003 | IIS 2001-997963 | 20011129 < |

```
US 6596747
                                20030722
                          B2
     IIS 6399773
                                20020604
                                           US 1999-428432
                          R1
                                                                   19991027 <--
     WO 2003047512
                          A2
                                20030612
                                           WO 2002-US38038
                                                                   20021127 <--
     WO 2003047512
                          A.3
                                20031016
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2002352950
                          ΑÌ
                                20030617
                                          AU 2002-352950
                                                                   20021127 <--
                         A2
     EP 1448187
                                20040825
                                           EP 2002-789910
                                                                   20021127
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
PRIORITY APPLN. INFO .:
                                            US 1998-106186P
                                            US 1999-428432
                                                                A2 19991027
                                            US 2001-997963
                                                                A 20011129
                                                                W 20021127
                                            WO 2002-US38038
OTHER SOURCE(S):
                        MARPAT 137:263025
GT
```

AB Title compds. I [D = mono/bicyclic (hetero)cyclic ring; A = R3, R4; R3 = 5-6-membered (un)saturated heterocyclic ring; R4 = H, halo, NO, CF3, alkyl, alkoxy, etc.; R = H, alkyl; R1-2 = H, halo, NO2, alkyl, etc.; B = mono/bicyclic (hetero)cyclic ring system] were prepared 5-(4-Amino-2-methoxyphenyl)oxazole was reacted with di-Ph cyanocarbonimidate (CH3CN, reflux, 40 h) to give an intermediate which was reacted with 2-hydrazinopyridine to afford II. I are effective inhibitors of IMPDH enzyme and/or serine protease factor VIIa.

IT 267645-41-0P, 2-[[3-Methoxy-4-(5-oxazoly1)pheny1]amino]-5-(2-

```
pyridinyl)oxazole 267645-42-1P,
2-[[3-Methoxy-4-(5-oxazolyl)phenyl]amino]-5-(tetrahydro-2-furanyl)oxazole
267645-48-7P, 5-(2,3-Dihydro-1,4-benzodioxin-6-y1)-2-[[3-methoxy-4-
(5-oxazolyl)phenyl]amino]oxazole 267645-67-0P,
5-(2-Furany1)-2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]oxazole
267645-68-1P, 2-[2-[3-Methoxy-4-(5-oxazoly1)pheny1]amino]-5-
oxazolv1]-1-pvrrolidinecarboxvlic acid phenvlmethvl ester
267645-93-2P, 2-[[3-Methoxy-4-(5-oxazolyl)phenyl]amino]-5-(2-
pyrrolidinyl)oxazole 267645-94-3P,
2-[2-[[3-Methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-1-
pyrrolidinecarboxylic acid Methyl Ester 267645-95-4P,
2-[2-[[3-Methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-N-
methoxymethylcarbonylpyrrolidine 267645-96-5P,
2-[2-[[3-Methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]-N-
(((morpholino)methyl)carbonyl)pyrrolidine 267645-98-7P,
2-[2-[[3-Methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]-1-
pyrrolidinecarboxylic acid 2-(methylsulfonyl)ethyl ester
267645-99-8P 267647-75-6P,
2-[(3-Methoxy-4-cyanophenyl)amino]-5-phenyloxazole 463941-28-8P,
2-[2-[(3-Methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-1-
pyrrolidinecarboxylic acid ethyl ester 463941-31-3P.
2-Amino-N-[2-[2-(3-methoxy-4-methylphenylamino)oxazol-5-v1]benzv1]-N-
methylacetamide 463941-36-8P.
2-Amino-N-[2-[2-(3-methoxyphenylamino)oxazol-5-yl]benzyl]-N-
methylacetamide 463941-38-0P,
2-Amino-N-[2-[2-(3-chlorophenylamino)oxazol-5-v1]benzyl]-N-methylacetamide
463941-42-6P, 2-Amino-N-(2-(2-(3,4-dichlorophenylamino)oxazol-5-
yl]benzyl]-N-methylacetamide 463941-43-7P,
2-Amino-N-[2-[2-(3-cyanophenylamino)oxazol-5-yl]benzyl]-N-methylacetamide
463941-49-3P, 2-Amino-N-methyl-N-[2-[2-(3-nitrophenylamino)oxazol-
5-v1]benzv1]acetamide 463941-52-8P,
N-[2-[2-((3-Acetylaminophenyl)amino)oxazol-5-yl]benzyl]-2-amino-N-
methylacetamide 463941-53-9P,
3-[[5-[2-[[(2-Aminoacetyl)methylamino]methyl]phenyl]oxazol-2-yl]amino]-N-
methylbenzamide 463941-55-1P,
4-[[5-[2-[((2-Aminoacetyl)methylamino]methyl]phenyl]oxazol-2-yl]amino]-2-
methoxybenzoic acid methyl ester 463941-57-3P
463941-64-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
   (IMPDH inhibitor; preparation of substituted oxazoles as IMPDH inhibitors)
267645-41-0 HCAPLUS
2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-(2-pyridinyl)- (CA
INDEX NAME)
```

RN

CN

RN 267645-42-1 HCAPLUS

10576267

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazoly1)pheny1]-5-(tetrahydro-2-furany1)-(CA INDEX NAME)

- RN 267645-48-7 HCAPLUS
- CN 2-Oxazolamine, 5-(2,3-dihydro-1,4-benzodioxin-6-y1)-N-[3-methoxy-4-(5-oxazoly1)phenyl]- (CA INDEX NAME)

- RN 267645-67-0 HCAPLUS
- CN 2-Oxazolamine, 5-(2-furany1)-N-[3-methoxy-4-(5-oxazoly1)pheny1]- (CA INDEX NAME)

- RN 267645-68-1 HCAPLUS
- CN 1-Pyrrolidinecarboxylic acid, 2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]-, phenylmethyl ester (CA INDEX NAME)

- RN 267645-93-2 HCAPLUS
- CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazoly1)pheny1]-5-(2-pyrrolidiny1)- (CA INDEX NAME)

RN 267645-94-3 HCAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]5-oxazolyl]-, methyl ester (CA INDEX NAME)

RN 267645-95-4 HCAPLUS

CN Ethanone, 2-methoxy-1-[2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5oxazoly1]-1-pyrrolidiny1]- (CA INDEX NAME)

RN 267645-96-5 HCAPLUS

CN Ethanone, 1-[2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]-1pyrrolidiny1]-2-(4-morpholiny1)- (CA INDEX NAME)

- RN 267645-98-7 HCAPLUS
- CN 1-Pyrrolidinecarboxylic acid, 2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]-, 2-(methylsulfony1)ethyl ester (CA INDEX NAME)

- RN 267645-99-8 HCAPLUS
- CN 1-Pyrrolidinecarboxylic acid, 2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]-, tetrahydro-3-furany1 ester (CA INDEX NAME)

- RN 267647-75-6 HCAPLUS
- CN Benzonitrile, 2-methoxy-4-[(5-phenyl-2-oxazolyl)amino]- (CA INDEX NAME)

- RN 463941-28-8 HCAPLUS
- CN 1-Pyrrolidinecarboxylic acid, 2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]-, ethyl ester (CA INDEX NAME)

Page 59

10576267.trn 02/02/2009

RN 463941-31-3 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(3-methoxy-4-methylphenyl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{N} \\ \text{H}_2\text{N}-\text{CH}_2-\text{C}-\text{N}-\text{CH}_2 \\ \text{Me} \end{array}$$

RN 463941-36-8 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(3-methoxyphenyl)amino]-5oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

RN 463941-38-0 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(3-chlorophenyl)amino]-5oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

- RN 463941-42-6 HCAPLUS
- CN Acetamide, 2-amino-N-[[2-[2-[(3,4-dichloropheny1)amino]-5oxazoly1]pheny1]methy1]-N-methy1- (CA INDEX NAME)

$$\begin{array}{c} \mathsf{C1} \\ \mathsf{C1} \\ \mathsf{C1} \\ \mathsf{C1} \\ \mathsf{C1} \\ \mathsf{NH} \\$$

- RN 463941-43-7 HCAPLUS
- CN Acetamide, 2-amino-N-[[2-[2-[(3-cyanophenyl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{NC} & \text{NH} & \text{N} \\ \text{O} & \text{CH}_2 - \text{N} - \text{C} - \text{CH}_2 - \text{NH}_2 \\ \text{Me} \end{array}$$

- RN 463941-49-3 HCAPLUS
- CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[(3-nitrophenyl)amino]-5-oxazolyl]phenyl]methyl]- (CA INDEX NAME)

- RN 463941-52-8 HCAPLUS
- CN Acetamide, N-[[2-[2-[[3-(acetylamino)phenyl]amino]-5oxazolyl]phenyl]methyl]-2-amino-N-methyl- (CA INDEX NAME)

RN 463941-53-9 HCAPLUS

CN Benzamide, 3-[[5-[2-[[(3-amino-2-oxopropyl)amino]methyl]phenyl]-2oxazolyl]amino]-N-methyl- (CA INDEX NAME)

RN 463941-55-1 HCAPLUS

CN Benzoic acid, 4-[[5-[2-[[(3-amino-2-oxopropy1)amino]methyl]phenyl]-2-oxazolyl]amino]-2-methoxy-, methyl ester (CA INDEX NAME)

RN 463941-57-3 HCAPLUS

CN Acetamide, 2-amino-N-[[3-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]-4-pyridiny1]methy1]-N-methy1-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAKE)

CM 1

CRN 463941-56-2

CMF C22 H22 N6 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 463941-64-2 HCAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]-3-pyridiny1]methy1]-N-methy1- (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{OMe} \\ \text{H}_2\text{N}-\text{CH}_2-\text{C}-\text{N}-\text{CH}_2 \\ \text{Me} \end{array}$$

IT 463941-62-0P 463941-63-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of substituted oxazoles as IMPDH inhibitors)

(intermediate; preparation of substituted oxazoles as IMPDH inhibitors)
RN 463941-62-0 HCAPLUS

CN Carbamic acid, [[3-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-4-pyridinyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

10576267.trn 02/02/2009

463941-63-1 HCAPLUS RN CN 4-Pyridinemethanamine, 3-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5oxazolyl]-N-methyl- (CA INDEX NAME)

L15 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:479495 HCAPLUS DOCUMENT NUMBER: 129:108995

ORIGINAL REFERENCE NO.: 129:22397a,22400a

TITLE:

Preparation of aromatic and heterocyclic amine derivatives as NOS inhibitors

INVENTOR(S): Esaki, Toru; Makino, Toshihiko; Nishimura, Yoshikazu;

Nagafuji, Toshiaki

PATENT ASSIGNEE(S): Chuqai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 165 pp. CODEN: PIXXD2

Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

DOCUMENT TYPE:

| PATENT NO. KIND DA | | | | | | | | | 1 | APPL | ICAT | I NOI | NO. | | D | ATE | |
|--------------------|------|-----|-----|-----|-----|-----|------|------|-----|------|------|-------|-----|-----|-----|-------|-------|
| | | | | | | _ | | | | | | | | | - | | |
| WO | 9828 | 257 | | | A1 | | 1998 | 0702 | 1 | WO 1 | 997- | JP47 | 62 | | 1 | 9971: | 224 < |
| | ₩: | AL, | AM, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | CA, | CN, | CU, | CZ, | EE, | GE, | GH, |
| | | GM, | GW, | HU, | ID, | IL, | IS, | KE, | KG, | KR, | KZ, | LC, | LK, | LR, | LS, | LT, | LV, |
| | | MD, | MG, | MK, | MN, | MW, | MX, | NO, | NZ, | PL, | RO, | RU, | SD, | SG, | SI, | SK, | SL, |
| | | ТJ, | TM, | TR, | TT, | UA, | UG, | US, | UZ, | VN, | YU, | ZW | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | SD, | SZ, | UG, | ZW, | AT, | BE, | CH, | DE, | DK, | ES, | FI, |

| | | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | CF, | CG, | CI, | CM, | |
|----------|---------|------|-------|-----|------|-----|------|------|-----|-------|-------|------|------|------|-----|------|-----|---|
| | | GA, | GN, | ML, | MR, | NE, | SN, | TD, | TG | | | | | | | | | |
| CA | 22759 | 33 | | | A1 | | 1998 | 0702 | | CA I | 1997- | 2275 | 933 | | 1 | 9971 | 224 | < |
| AU | 98533 | 394 | | | A | | 1998 | 0717 | | AU 1 | 1998- | 5339 | 4 | | 1 | 9971 | 224 | < |
| AU | 74238 | 38 | | | B2 | | 2002 | 0103 | | | | | | | | | | |
| JP | 10237 | 7028 | | | A | | 1998 | 0908 | | TP 1 | 1997- | 3664 | 74 | | 1 | 9971 | 224 | < |
| | 94924 | | | | A1 | | | 1013 | | | 1997- | | | | | 9971 | | |
| Di | | | DE | | | | | | | | IT, | | | | | | | |
| | Α. | | | Cn, | DE, | DI. | Eo, | rr, | GD, | Gr, | 11, | LI, | ьо, | IAT! | JE, | PIC, | EI, | |
| | | ΙE, | P I | | | | | | | | | | | | | | | |
| HU | 20000 | 0003 | 21 | | A2 | | 2000 | 0828 | | HU 2 | 2000- | 321 | | | 1 | 9971 | 224 | < |
| HU | 20000 | 0003 | 21 | | A3 | | 2000 | 0928 | | | | | | | | | | |
| RU | 21935 | 554 | | | C2 | | 2002 | 1127 | | RU I | 1999- | 1165 | 98 | | 1 | 9971 | 224 | < |
| TW | 58462 | 22 | | | В | | 2004 | 0421 | | TW I | 1997- | 8611 | 9687 | | 1 | 9971 | 224 | |
| NO | 99031 | 109 | | | A | | 1999 | 0824 | | NO 1 | 1999- | 3109 | | | 1 | 9990 | 622 | < |
| IIS | 63315 | 553 | | | В1 | | 2001 | 1218 | | IIS 1 | 1999- | 3317 | 33 | | 1 | 9990 | 624 | < |
| | | | ***** | | | | 2001 | | | | | | | | | | | |
| PRIORIT: | MAPPI | -M- | TNEO | . : | | | | | | JP . | 1996- | 3597 | 9 I | | | 9961 | | |
| | | | | | | | | | | WO 1 | 1997- | JP47 | 62 | | W 1 | 9971 | 224 | |
| OTHER SO | DURCE (| (S): | | | MARI | PAT | 129: | 1089 | 95 | | | | | | | | | |
| GT | | | | | | | | | | | | | | | | | | |

$$H_2N-CH_2$$
 N
 N
OMe II

AB The title compds. I [Rl and R2 represent each hydrogen, etc.; R3 and R4 represent each hydrogen, lower alkyl, etc.; R5 represents hydrogen, etc.; X1, X2, X3 and X4 represent each hydrogen, lower alkoxyl, etc.; A represents an optionally substituted pyridine ring, etc.; and m and n are each 0 or 1] are prepared I are useful as pharmaceuticals for cerebrovascular disorders, etc. The title compound II in vitro showed IC50 values of 22.6 nM and 916.7 nM against nNOS and iNOS, resp.

IT 20988-14-6F 209898-16-9P

IT 209898-14-6P 209898-16-8P 209898-209898-20-4P

RE: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aromatic and heterocyclic amine derivs. as NOS inhibitors)

```
RN 209898-14-6 HCAPLUS
CN
    2-Oxazolamine, N-[3-(aminomethyl)phenyl]-5-methyl-, 2,2,2-trifluoroacetate
    (1:1) (CA INDEX NAME)
    CM 1
    CRN 209898-13-5
    CMF C11 H13 N3 O
Me
    CM
        2
    CRN 76-05-1
    CMF C2 H F3 O2
F-C-C02H
  F
RN
   209898-16-8 HCAPLUS
CN
    2-Oxazolamine, N-[3-(aminomethyl)-2-ethoxyphenyl]-5-methyl-,
    2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
    CM 1
    CRN 209898-15-7
    CMF C13 H17 N3 O2
                    CH2-NH2
               OEt
Me
     CM
         2
```

CRN 76-05-1 CMF C2 H F3 O2

RN 209898-18-0 HCAPLUS

CN 2-Oxazolamine, N-[3-(aminomethy1)-2-methy1pheny1]-5-methy1-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 209898-17-9 CMF C12 H15 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 209898-20-4 HCAPLUS

N 2-Oxazolamine, N-[3-(aminomethyl)-4-methoxyphenyl]-5-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 209898-19-1 CMF C12 H15 N3 O2 10576267

CM :

CRN 76-05-1 CMF C2 H F3 O2

IT 209899-15-0P 209899-17-2P 209899-18-3P 209899-19-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of aromatic and heterocyclic amine derivs. as NOS inhibitors)

RN 209899-15-0 HCAPLUS

CN Imidodicarbonic acid, N-[[3-[(5-methyl-2-oxazolyl)amino]phenyl]methyl]-, C,C'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)

RN 209899-17-2 HCAPLUS CN Imidodicarbonic acid

Imidodicarbonic acid, N-[[2-ethoxy-3-[(5-methyl-2oxazolyl)amino]phenyl]methyl]-, C,C'-bis(1,1-dimethylethyl) ester (CA
INDEX NAME)

RN 209899-18-3 HCAPLUS

CN Imidodicarbonic acid, N-[[2-methyl-3-[(5-methyl-2-oxazolyl)amino]phenyl]methyl]-, C,C'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)

RN 209899-19-4 HCAPLUS

CN Imidodicarbonic acid, N-[[2-methoxy-5-[(5-methyl-2-oxazolyl)amino]phenyl]methyl]-, C,C'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)

REFERENCE COUNT:

Me

86 THERE ARE 86 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:640645 HCAPLUS DOCUMENT NUMBER: 127:278199
ORIGINAL REFERENCE NO.: 127:54337a,54340a

TITLE: Preparation of 2,4-diaminopyridine derivatives as

INVENTOR(S):

antagonists of neuropeptide Y receptors

Fukami, Takehiro; Mase, Toshiaki; Tsuchiya, Yoshimi;

Kanatani, Akio; Fukuroda, Takahiro

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan; Fukami,

Takehiro; Mase, Toshiaki; Tsuchiya, Yoshimi; Kanatani, Akio; Fukuroda, Takahiro

SOURCE: PCT Int. Appl., 149 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PA: | ENT : | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION I | NO. | | D | ATE | | |
|-------|-----|-------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|--|---------------------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|----|
| | WO | 9734
W:
RW: | AL,
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ES,
LS,
SD,
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FI,
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MX,
UA,
DK, | CN,
KG,
NO,
UG,
ES, | CU,
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NZ,
US,
FI, | CZ,
KZ,
PL,
UZ,
FR, | DE,
LC,
PT,
VN,
GB, | YU |
| | | 2249 | 222 | | · | A1 | • | 1997 | | | CA 1 | 997-: | 2249: | 222 | | 1 | 9970 | 319 | < |
| | | 2249
9720 | | | | C
A | | 2005
1997 | | | AU 1 | 997-: | 2042 | 8 | | 1 | 9970 | 319 | < |
| | | 8890
8890 | | | | A1
B1 | | 1999
2003 | | | EP 1 | 997- | 9084 | 95 | | 1 | 9970 | 319 | < |
| | | 4106 | | FR, | GB, | B2 | | 2008 | | | | 997- | | | | | 9970 | | |
| PRIOR | | 6011
(APP | | INFO | .: | A | | 2000 | 0104 | | JP 1 | 998-
996-
997- | 9196 | 8 | | A 1 | 9981
9960
9970 | 321 | < |
| | | | | | | | | | | | | | | | | | | | |

AB Compds. of general formula [I; Ar1 = aryl or heteroaryl which may be substituted with a radical selected from the group consisting of lower alkyl, lower hydroxyalkyl, lower alkylene and NRaRb; wherein Ra, Rb = hydrogen or lower alkyl; R2, R3 = lower alkyl or alternatively R2 and R3 are united to form alkylene which may be interrupted by oxygen or sulfur and may be substituted with one or two lower alkyl radicals; R4 = hydrogen or lower alkyl which may be substituted with a radical selected from the

group consisting of hydroxyl, amino, carbamoyl, and lower alkoxycarbonyl; Ar2 = arvl or heteroarvl which may be substituted with a radical selected from the group consisting of halogeno, hydroxyl, lower alkyl, lower haloalkyl, lower alkoxy, lower alkylthio, lower hydroxyalkyl, lower alkoxy lower alkyl, NRcRd, and NReCONRfRg; wherein Rc = hydrogen or lower alkyl; Rd = hydrogen, lower alkyl, CORh, SO2Ri, optionally substituted heterocyclyl; Re, Rf = hydrogen, lower alkyl or alkenyl, optionally substituted arvl or heteroarvl; Rh = lower alkvl or alkoxv, lower alkoxy-lower alkoxy, lower alkenyloxy or alkynyloxy, heterocycly1-C1-3 n-alkoxy; Ri = lower alkyl or alkenyl; W = oxygen, sulfur, CHRj, or NRk; Ri, Rk = H, lower alkyl] or pharmaceutically acceptable salts thereof are prepared Agents for the treatment of hyperphagia, obesity or diabetes comprising I the active ingredients are claimed. Thus, 2-[N-tert-butoxycarbonyl-N-(3-carboxybenzyl)amino]-6-(5-ethyl-1,3,4thiadiazol-2-ylthiomethyl)-4-morpholinopyridine (preparation given) was refluxed with (PhO) 2P(O)N3, allyl alc., and Et3N in DMF for 3 h, followed by treatment with CF3CO2H to give the title compound (II). II in vitro showed IC50 of 0.33 nM for inhibiting the binding of [1251]peptide YY to membrane preparation from human neuroblastoma SKN-MC cells. 196498-35-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diaminopyridine derivs. as antagonists of neuropeptide Y receptors for treatment of hyperphagia, obesity, or diabetes)

RN 196498-35-8 HCAPLUS CN 2-Pvridinamine, 6-[[

2-Pyridinamine, 6-[[(5-ethyl-1,3,4-thiadiazol-2-yl)thio]methyl]-N-[[3-[(4-methyl-2-oxazolyl)amino]phenyl]methyl]-4-(4-morpholinyl)- (CA INDEX NAME)

IT 196500-06-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of diaminopyridine derivs. as antagonists of neuropeptide Y receptors for treatment of hyperphagia, obesity, or diabetes) 196500-06-8 HCAPUUS

RN 196500-06-8 HCAPLUS CN Carbamic acid, (4-met

Carbamic acid, (4-methyl-2-oxazolyl)[3-[[(methylsulfonyl)oxy]methyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1991:471590 HCAPLUS

ACCESSION NUMBER: 1991:471590 HCA DOCUMENT NUMBER: 115:71590

ORIGINAL REFERENCE NO.: 115:12379a,12382a

TITLE: Preparation of 2-amino-4,5-disubstituted oxazoles and -thiazoles as herbicide antidotes

INVENTOR(S): Grabiak, Raymond C.; Howe, Robert K.; Lee, Len F.
PATENT ASSIGNEE(S): Monsanto Co., USA
U.S., 48 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|-----------|-----------------|------------|
| | | | | |
| US 5000775 | A | 19910319 | US 1985-815102 | 19851231 < |
| PRIORITY APPLN. INFO.: | | | US 1985-815102 | 19851231 |
| OTHER SOURCE(S): | MARPAT | 115:71590 | | |

AB The title compds. [I; R1, R2 = H, (halo)alkyl, hydroxyalkyl, cycloalkyl, alkenyl, aryl(alkyl), etc.; R3, R4 = (hydroxy)alkyl, alkoxy(alkyl), haloalkyl, aryl(alkyl), COX, COZR5, COSR6, CONR7R8, (un)substituted 2-oxazolyl; R5, R6 = H, (alkoxy)alkyl, agriculturally acceptable cation, aryl(alkyl); R7, R8 = H, (hydroxy)alkyl, aryl, etc.; X = halo; Z = O, S], especially effective to safen acetamide herbicides used to control grassy weeds

Page 72

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in sorghum and broadleaf weeds in corn, were prepared, e.g., by amination of chlorothiazoles with primary amines. Thus, a stirred mixture of Et 2-chloro-4-trifluoromethyl-5-thiazolecarboxylate and tert-butylamine was refluxed 20 h to give title compound I (R1 = H, R2 = Me3C, R3 = F3C, R4 = EtO2C, Z = S) which at 8.96 kg/ha gave 69% safening effect on sorghum when co-dispersed in soil with 2.24 kg/ha alachlor. A total of 60 I were prepared and their extensive evaluation carried out with approx. 20 herbicides. Numerous formulations containing I are given.

135026-19-6P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide antidote)

135026-19-6 HCAPLUS RN

CM 5-0xazolecarboxylic acid, 4-(trifluoromethyl)-2-[[3-(trifluoromethyl)phenyl]amino]-, ethyl ester (CA INDEX NAME)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1991:185568 HCAPLUS DOCUMENT NUMBER: 114:185568

ORIGINAL REFERENCE NO.: 114:31354h,31355a

TITLE: Preparation of anti-inflammatory 4-(heterocyclylamino)phenol derivatives

INVENTOR(S): Bantick, John Raymond; Hardern, David Norman; Appleton, Richard Anthony; Dixon, John; Wilkinson,

David John

PATENT ASSIGNEE(S): Fisons PLC, UK

SOURCE: PCT Int. Appl., 65 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA' | TENT NO. | | | KIND | DATE | APPLICATION NO. | DATE |
|-----|----------|-----|-----|------|-------------|--------------------|------------|
| | | | | | | | |
| WO | 9014338 | | | A1 | 19901129 | WO 1990-GB762 | 19900517 < |
| | | | | | NO, SU, US | | |
| | RW: AT, | BE, | CH, | DE, | DK, ES, FR, | GB, IT, LU, NL, SE | |
| | 9056682 | | | Α | 19901218 | AU 1990-56682 | 19900517 < |
| AU | 630196 | | | B2 | 19921022 | | |
| ZA | 9003802 | | | A | 19910130 | ZA 1990-3802 | 19900517 < |
| EP | 425650 | | | A1 | 19910508 | EP 1990-908298 | 19900517 < |

| EP | 42565 | 0 | | | В1 | | 1995 | 0809 | | | | | | | | | |
|----------|---------|------|------|-----|-------|-----|------|------|-----|----|--------|------|-----|----|----|----------|---|
| | R: | AT, | BE, | CH, | DE, I | DK, | ES, | FR, | GB, | 13 | C, LI, | LU, | NL, | SE | | | |
| JP | 06502 | 384 | | | T | | 1994 | 0317 | | JP | 1990-5 | 077 | 34 | | | 19900517 | < |
| JP | 07116 | 155 | | | В | | 1995 | 1213 | | | | | | | | | |
| ES | 20770 | 66 | | | Т3 | | 1995 | 1116 | | ES | 1990-9 | 082 | 98 | | | 19900517 | < |
| RU | 20497 | 79 | | | C1 | | 1995 | 1210 | | RU | 1990-4 | 1894 | 663 | | | 19900517 | < |
| CA | 20171 | 69 | | | A1 | | 1990 | 1120 | | CA | 1990-2 | 2017 | 169 | | | 19900518 | < |
| HU | 54119 | | | | A2 | | 1991 | 0128 | | HU | 1990-3 | 094 | | | | 19900518 | < |
| HU | 20632 | 3 | | | В | | 1992 | 1028 | | | | | | | | | |
| DD | 30054 | 4 | | | A5 | | 1992 | 0617 | | DD | 1990-3 | 408 | 30 | | | 19900518 | < |
| PL | 16443 | 2 | | | B1 | | 1994 | 0729 | | PL | 1990-2 | 852 | 18 | | | 19900518 | < |
| PL | 16448 | 0 | | | B1 | | 1994 | 0831 | | ΡL | 1990-2 | 894 | 37 | | | 19900518 | < |
| IL | 94433 | | | | A | | 1995 | 0315 | | ΙL | 1990-9 | 443 | 3 | | | 19900518 | < |
| CZ | 28063 | 7 | | | B6 | | 1996 | 0313 | | CZ | 1990-2 | 444 | | | | 19900518 | < |
| CN | 10474 | 97 | | | A | | 1990 | 1205 | | CN | 1990-1 | .037 | 39 | | | 19900519 | < |
| RO | 10595 | 8 | | | B1 | | 1993 | 0130 | | RO | 1990-1 | 459 | 22 | | | 19900912 | < |
| NO | 91001 | 98 | | | A | | 1991 | 0312 | | NO | 1991-1 | .98 | | | | 19910117 | < |
| US | 54280 | 44 | | | A | | 1995 | 0627 | | US | 1993-1 | .383 | 75 | | | 19931015 | < |
| PRIORITY | APPL | N. : | INFO | . : | | | | | | GB | 1989-1 | 165 | 4 | | Α | 19890520 | |
| | | | | | | | | | | GB | 1989-1 | .165 | 5 | | A | 19890520 | |
| | | | | | | | | | | GB | 1990-3 | 044 | | | A | 19900210 | |
| | | | | | | | | | | WO | 1990-0 | B76 | 2 | | A | 19900517 | |
| | | | | | | | | | | US | 1991-6 | 341 | 32 | | В1 | 19910301 | |
| | | | | | | | | | | US | 1992-9 | 780 | 41 | | В1 | 19921118 | |
| OTHER SO | DURCE (| S): | | | MARPA | AT | 114: | 1855 | 58 | | | | | | | | |

AB The title compds. [I; Rl = C(0)YZ, SO2R10; Y = single bond, O, NH, alkylimino, CO; Z = H, alkyl, alkyl substituted by ≤1 substituents selected from OH, alkoxy, acyloxy, CO2H, alkoxycarbonyl, (un)substituted CONHZ or NHZ, heterocyclyl, (un)substituted aryl, etc.; RlO = alkyl; R2, R3, R5, R6 = H, alkyl, alkoxy, halo; R4 = H, alkyl; X = (un)substituted heterocyclyl] are prepared as antiinflammatories (no data). Thus, acetylation of 2,6-dimethyl-4-nitrophenol with AcCl in CH2Cl2 containing Et3N followed by hydrogenation over PtO2 in EtOH gave 4-amino-2,6-dimethylphenyl acetate which was refluxed with 3-amino-4,5-dihydro-1-phenyl-1H-pyrazole in PhMe containing 4-MeC6H4SO3H for 8 h to give 4-(4,5-dihydro-1-phenyl-1H-pyrazol-3-yl)amino-2,6-dimethylphenyl acetate. A total of 117 I containing heterocycles, i.e., pyrazole, benzimidazole, quinoline, pyrimidianole, tyrazine, oxazole, 1,2,3-triazole, pyridazine, imidazole, 1,2,4-thiadiazole, thiophene, isoxazole, 1,2,4-triazine, and 1,3,4-thiadiazole, were prepared

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as antiinflammatory)

RN 133356-02-2 HCAPLUS

CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-(2-oxazolylamino)-, 1-acetate (CA INDEX NAME)

NH OAC

REFERENCE COUNT:

THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

20

ACCESSION NUMBER: 1988:493070 HCAPLUS

DOCUMENT NUMBER: 109:93070
ORIGINAL REFERENCE NO.: 109:15541a,15544a

TITLE: Preparation, testing, and formulation of

4-(heterocyclyamino)phenols as inflammation inhibitors INVENTOR(S): Kanai, Kenichi; Goto, Kiyoto; Hashimoto, Kinji

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan

SOURCE: Eur. Pat. Appl., 71 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|------------------------|--------------------------|------------------------|-------------|
| | A2 1988012
A3 1989112 | 7 EP 1987-110503 | 19870720 < |
| R: AT, CH, DE, | ES, FR, GB, IT | , LI, NL, SE | |
| AU 8775799 | A 1988012 | 8 AU 1987-75799 | 19870717 < |
| AU 590935 | B2 1989112 | 3 | |
| DK 8703774 | A 1988012 | 2 DK 1987-3774 | 19870720 < |
| US 4868183 | A 1989091 | 9 US 1987-75910 | 19870720 < |
| JP 01025756 | A 1989012 | 7 JP 1987-183099 | 19870721 < |
| JP 06051679 | B 1994070 | 6 | |
| JP 02138265 | A 1990052 | 8 JP 1988-8846 | 19880118 < |
| JP 06067911 | B 1994083 | 1 | |
| JP 02138251 | A 1990052 | 8 JP 1989-210376 | 19890815 < |
| JP 05071590 | B 1993100 | 7 | |
| US 5059598 | A 1991102 | 2 US 1989-409192 | 19890919 < |
| PRIORITY APPLN. INFO.: | | JP 1986-172431 | A 19860721 |
| | | JP 1986-213660 | A 19860910 |
| | | JP 1987-38595 | A 19870220 |
| | | JP 1987-94199 | A 19870416 |
| | | US 1987-75910 | A3 19870720 |
| | | JP 1987-183099 | 19870721 |
| OTHER SOURCE(S):
GI | CASREACT 109:9 | 3070; MARPAT 109:93070 | |

- AB The title compds. [I; R2 = alkyl; R2, R3 = H, alkyl; R2R3 = (CH2)4, CH:CH:CH:CH; R4 = 5- or 6-membered (substituted heteroaryl, including pyrazine-N-oxide, pyridazine-N-oxide, and pyrimidine-N-oxide but excluding thiazolyl, isothiazoly, pyridyl, and 1,3,5-trlazinyl) were prepared as ilpoxygenase inhibitor and antiinflammatories. To 2,6-di-tert-butyl-1,4-benzoquinone and aminopyrazine in THF was added a suspension of Ticl4 in pyridine/dichloroethane and the mixture was refluxed to give 2,6-di-tert-butyl-1,4-pyrazinylaminophenol. The latter at 37 mg/kg orally gave a 50% reduction in carrageenan-induced paw edema in rats.
- IT 114559-54-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of, as antiinflammatory)
- RN 114559-54-5 HCAPLUS
- CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-(2-oxazolylamino)- (CA INDEX NAME)

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COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
|--|-----------------|-------------------|
| FULL ESTIMATED COST | ENTRY
108.18 | SESSION
678.40 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| CA SUBSCRIBER PRICE | ENTRY
-13.12 | -13.1 |

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